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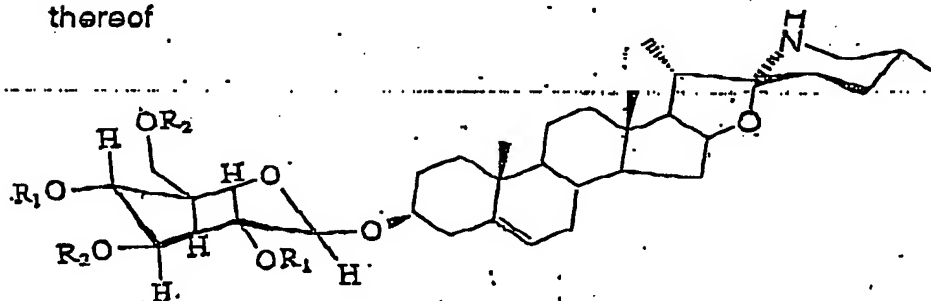
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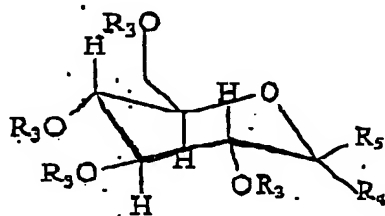
# Claims

1. A glucose-solasodine conjugate of the general formula I or a derivative thereof



wherein each of  $R_1$  and  $R_2$  are the same or different and represents,  $R_1$  is a benzoyl or a pivaloyl group.

2. A method for the preparation of the glucose-solasodine conjugate as defined in claim 1, comprising the reaction of solasodine with a glucoopyranosyl donor of general formula II



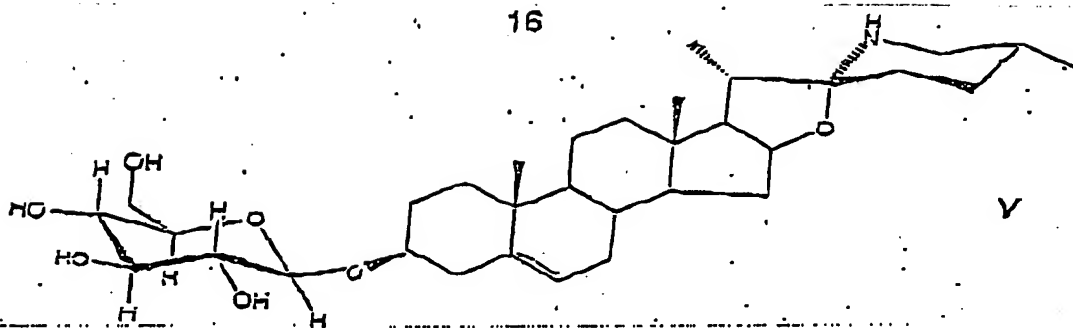
wherein each  $R_3$  independently represents a benzoyl, acetyl or pivaloyl group,

wherein  $R_4$  is halogen selected from Cl, Br or I and  $R_5$  is hydrogen or

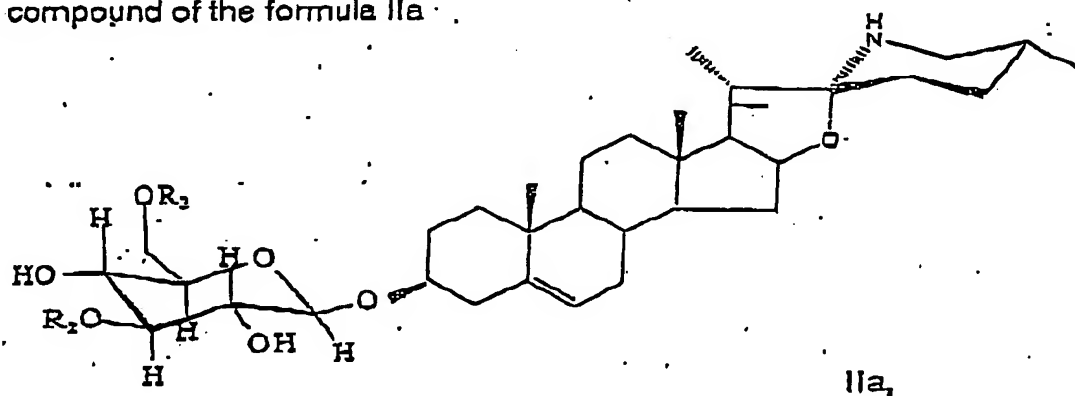
$R_4$  is hydrogen and  $R_5$  is SEt or SPh,

followed by optionally de-protecting the obtained glycoside to yield a compound of the formula V

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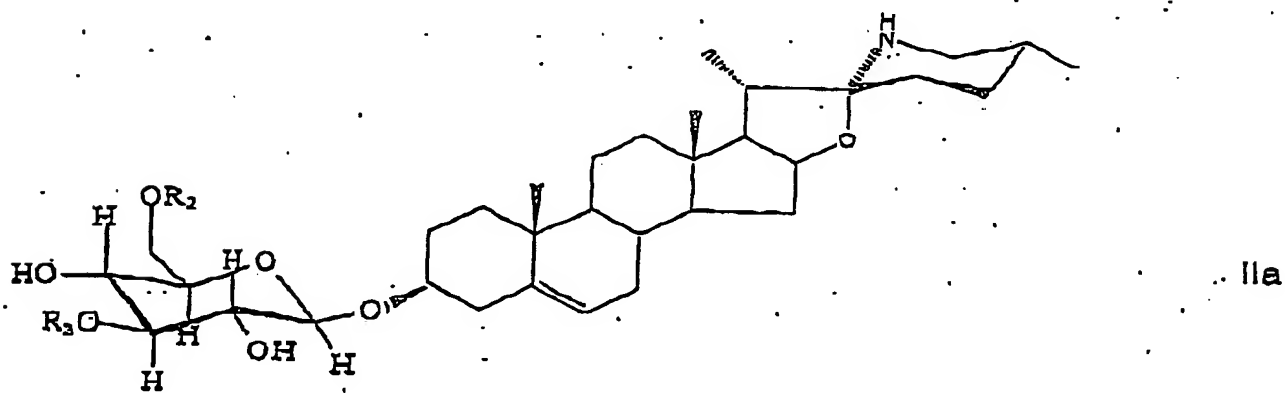


and reesterification of the most reactive hydroxyl groups (OH-3 and OH-6) to yield a compound of the formula IIa.



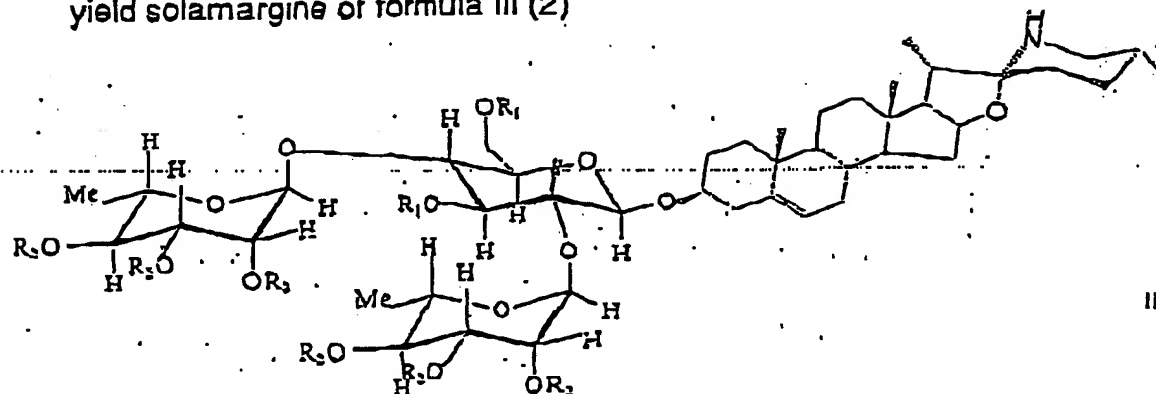
wherein R<sub>2</sub> is a group selected from pivaloyl or acetyl.

3. A method for the preparation of solamargine comprising the glycosylation of the diol of formula IIa



wherein R<sub>2</sub> is defined as in claim 1 with an  $\alpha$ -L-rhamnopyranosyl donor

to yield protected solamargine of formula III (1) which is de-esterified to yield solamargine of formula III (2)

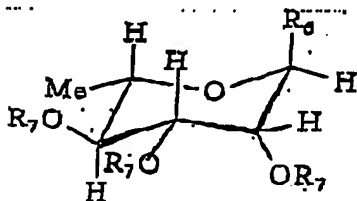


(1)  $R_1 = \text{Piv}$  and  $R_2 = \text{Benzoyl or Acetyl}$

(2)  $R_1 = R_2 = \text{H}$

4. The method according to claim 2, wherein the D-glucosepyranosyl donor is tetra-O-benzoyl- $\alpha$ -D-glucopyranosyl bromide, tetra-O-acetyl- $\alpha$ -D-glucopyranosyl bromide or tetra-O-pivaloyl- $\alpha$ -D-glucopyranosyl bromide.
5. The method according to claim 2 or 4, wherein the glycosylation reaction is carried out in the presence of a promoter selected from silver trifluoromethane sulfonate (silver triflate), boron trifluoride diethyl etherate, trimethylsilyl triflate bromide, N-iodosuccinimide or dimethyl thiomethyl sulfonium triflate, silver trifluoromethyltriflate.
6. The method of claim 2, wherein the protected glycoside is deprotected in methanol-dichloromethane solution by treatment with sodium methoxide, followed by neutralization with solid  $\text{CO}_2$  or mild acid ion-exchange resin.
7. The method of claim 2, wherein the most reactive hydroxyl groups (OH-3 and OH-6) are protected by reesterification with pivaloyl chloride in pyridine solution.

8. The method of claim 3, wherein the rhamnose donor is tri-O-benzoyl- $\alpha$ -L-rhamnopyranosyl bromide, tri-O-pivaloyl- $\alpha$ -L-rhamnopyranosyl trichloroacetimidate or a glycoside of the general formula IV



IV

wherein  $R_6$  is Br, Cl, I, SEt or SPh and

$R_7$  is benzoyl, acetyl or pivaloyl.

9. The method of claim 3, wherein the protected solamargine is de-esterified by treatment with a base selected from sodium methoxide or sodium hydroxide in methanol-dichloromethane solution or a methanol-tetrahydrofuran-water mixture followed by neutralization with solid  $\text{CO}_2$  or mild acid ion-exchange resin.